10/773,414 8/29/04

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:696369 CAPLUS
DOCUMENT NUMBER: 141:225515
TITLE: Synthesis of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one
INVENTOR(S): Nisnevich, Gennady; Rukhman, Igor; Pertsikov, Boris; Kaftanov, Julia; Dolitzky, Ben-zion
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals Usa, Inc.
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072064	A1	20040826	WO 2004-US3604	20040205
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004242894	A1	20041202	US 2004-773414	20040205
PRIORITY APPLN. INFO.:			US 2003-445218P	P 20030205
			US 2003-465985P	P 20030428
OTHER SOURCE(S):	CASREACT 141:225515			

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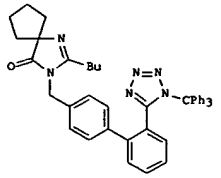

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AB Provided are 5 methods of making 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]non-1-ene-4-one (I), e.g. comprising the steps of: (a) reacting 1-(N'-pentanoylamino)cyclopentanecarboxylic acid amide with 5-(4'-bromomethylbiphenyl-2-yl)-1-trityl-1H-tetrazole in the presence of an inorg. base, a solvent and a phase transfer catalyst; (b) cooling the mixture; (c) adding water to

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

the mixt. whereby two phases are obtained; (d) sepg. the two phases obtained; and (e) recovering the compd. I. The compds. I can be converted to irbesartan which is a known angiotensin II receptor antagonist (blocker).

CAPLUS
Search all reactions containing
1-(N'-pentanoyl)cyclopentane carboxylic acid amide
by RN (i.e., all chemical names for this compd
and (and corresponding ester)
and
5-(4'-bromomethylbiphenyl-2-yl)-1-trityl-1H-tetrazole.

1 hit - this app.

=> d ibib abs 2-3

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:131475 CAPLUS
DOCUMENT NUMBER: 136:183821
TITLE: Preparation of benzimidazole derivatives for inhibiting neoplastic cells
INVENTOR(S): Sperl, Gerhard; Pamukcu, Rifat; Ikkes, Ulrich; Piazza, Gary A.
PATENT ASSIGNEE(S): Cell Pathways, Inc., USA
SOURCE: U.S., 54 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6348032	B1	20020219	US 1998-199094	19981123
US 2002082280	A1	20020627	US 2001-12672	20011105
PRIORITY APPLN. INFO.:			US 1998-199094	A3 19981123
OTHER SOURCE(S):	MARPAT 136:183821			

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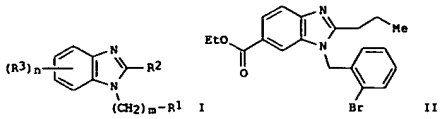

AB Title compds. I [wherein R1 = H, alkyl, benzenesulfonyl, or (un)substituted (hetero)aryl; R2 = H, (halo)alkyl, alkoxy(alkyl), (alkyl)amino, or carboxyl; R3 = halocarbonyl, carboxyl, haloalkylcarbonyl, alkoxycarbonyl, carboxyalkenyl, alkoxycarbonylalkenyl, aminosulfonyl, CN, (un)substituted carbamoyl, carbamoylalkyl, carbamoylalkenyl, or aryloxycarbonyl; m = 0-2; n = 0-2] where prepared for inhibiting neoplasia, particularly cancerous and precancerous lesions, without substantially inhibiting PGE-2. For example, a DMF solution of Et 3-butyrylamino-4-nitrobenzoate was added to NaOH (60% oil suspension) in a nitrogen environment at room temperature Dropwise addition of 2-bromobenzyl bromide over a 10 min span, followed by stirring for 1 h at room temperature and quenching with ice water, gave Et 3-[N-(2-bromobenzyl)butyrylamino]-4-nitrobenzoate. Treatment with reduced Fe in AcOH and EtOH afforded the benzimidazole II. Besides their utility as antitumor agents, I are also useful in the treatment of diseases associated with abnormalities of cellular growth patterns such as benign prostatic hyperplasia, neurodegenerative diseases such as Parkinson's disease, autoimmune diseases including multiple sclerosis and rheumatoid arthritis, infectious diseases such as AIDS, and other diseases (no data).

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:22155 CAPLUS
DOCUMENT NUMBER: 118:22155
TITLE: Preparation of substituted 1(2H)-isoquinolinones as angiotensin II antagonists
INVENTOR(S): Patchett, Arthur A.; De Laszlo, Stephen E.; Greenlee, William J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 502575	A1	19920909	EP 1992-200563	19920227
R: CH, DE, FR, GB, IT, LI, NL				
CA 2062211	AA	19920907	CA 1992-2062211	19920303
JP 05148238	A2	19930615	JP 1992-98999	19920306
JP 07035372	B4	19950419		
PRIORITY APPLN. INFO.:			US 1991-665491 A	19910306
			US 1992-830621 A	19920211
OTHER SOURCE(S):	MARPAT 118:22155			

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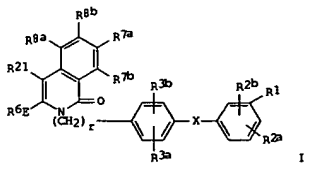

AB Title compds. I (R1 = (modified) HO2C, HO3S, NH2O2S, tetrazolyl, etc.; R2a, R2b = H, halo (di)(alkyl)amino, F3C, (substituted) aminosulfonyl, C1-6 alkyl, C1-6 alkoxy, etc.; R3a = H, halo, C1-6 acryloxy, C3-7 cycloalkyl, etc.; R7a, F7b, R8a, R8b = H (substituted) C1-8 alkyl, piperazinyl, morpholino, etc.; R6 = (substituted) aryl, C1-6 alkyl, C2-5 alkenyl, C2-5 alkynyl, etc.; E = bond, iminoalkylsulfonylalkylene, CO, etc.; R21 = H, halo, aryl, heteroaryl, etc.; r = substituted tetrazolylcarbamoyl; X = bond, CO, O, S, etc.) are useful as angiotensin II antagonists (no data). Homophthalic anhydride in pyridine was added to valeryl chloride followed by treatment with NH4OH, and the mixture refluxed for 2 h to give 3-n-butyl-1(2H)-isoquinolinone. This was added to NaH and reacted with N-(triphenylmethyl)-5-[2-(4'-bromomethylbiphenyl)]tetrazole in DMF to give a product which was deprotected to give the title 3-butyl-2-[(2'-tetrazol-5-ylbiphen-4-yl)methyl]-1(2H)-isoquinoline. Pharmaceutical formulations comprising I are given. Claimed also are pharmaceuticals comprising I and antihypertensives, diuretics, angiotensin converting enzyme or Ca channel blocker.

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:696369 CAPLUS

DN 141:225515

ED Entered STN: 26 Aug 2004

TI Synthesis of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one

IN Nisnevich, Gennady; Rukhman, Igor; Pertsikov, Boris; Kaftanov, Julia; Dolitzky, Ben-zion

PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals Usa, Inc.

SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D403-10

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004072064	A1	20040826	WO 2004-US3604	20040205
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004242894	A1	20041202	US 2004-773414	20040205
PRAI US 2003-445218P	P	20030205		
US 2003-465905P	P	20030428		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004072064	ICM	C07D403-10
WO 2004072064	ECLA	C07D403/10+257+235
US 2004242894	NCL	548/252.000

OS CASREACT 141:225515

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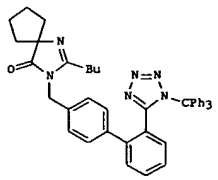

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L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB Provided are 5 methods of making 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]non-1-ene-4-one (I), e.g. comprising the steps of: (a) reacting 1-(N'-**pentanoylamino**)cyclopentanecarboxylic acid amide with 5-(4'-**bromomethylbiphenyl**-2-yl)-1-trityl-1H-tetrazole in the presence of an inorg. base, a solvent and a phase transfer catalyst; (b) cooling the mixture; (c) adding water to the mixture whereby two phases are obtained; (d) separating the two phases obtained; and (e) recovering the compound I. The compds. I can be converted to irbesartan which is a known angiotensin II receptor antagonist (blocker).

ST butyltrityltetrazolylbiphenylylmethyldiazaspirolenone prepn intermediate irbesartan

IT Ethers, uses
RL: NUU (Other use, unclassified); USES (Uses)
(aliphatic, solvent; methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT Phosphonium compounds
Quaternary ammonium compounds, uses
RL: CAT (Catalyst use); USES (Uses)
(catalysts for cyclocondensation of (**pentanoylamino**)cyclopentanecarboxamide with (**bromomethylbiphenylyl**)tetrazole; methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT Cyclocondensation reaction
(cyclocondensation of (**pentanoylamino**)cyclopentanecarboxamide with (**bromomethylbiphenylyl**)tetrazole; methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT Acetals
RL: NUU (Other use, unclassified); USES (Uses)
(formals, solvent; methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT Ethers, uses
RL: NUU (Other use, unclassified); USES (Uses)
(glymes, solvent; methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT Acids, uses
RL: CAT (Catalyst use); USES (Uses)
(inorg., catalysts for imidation of valerimidate ester with (aminomethylbiphenylyl)tetrazole; methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT Phase transfer catalysts
(methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT Cyclocondensation reaction catalysts
(phase transfer catalysts, cyclocondensation of (**pentanoylamino**)cyclopentanecarboxamide with (**bromomethylbiphenylyl**)tetrazole; preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT Aromatic hydrocarbons, uses
RL: NUU (Other use, unclassified); USES (Uses)
(solvent; methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT 32503-27-8, Tetrabutylammonium hydrogen sulfate

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RL: CAT (Catalyst use); USES (Uses)
(catalysts for cyclocondensation of (**pentanoylamino**)cyclopentanecarboxamide with (**bromomethylbiphenylyl**)tetrazole; methods for prepn. of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT 64-18-6, Formic acid, uses 64-19-7, Acetic acid, uses 7647-01-0, Hydrochloric acid, uses 10035-10-6, Hydrobromic acid, uses
RL: CAT (Catalyst use); USES (Uses)
(catalysts for imidation of valerimidate ester with (aminomethylbiphenylyl)tetrazole; methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT 57246-71-6, Methyl valerimidate
RL: RCT (Reactant); RACT (Reactant or reagent)
(catalysts for imidation of valerimidate ester with (aminomethylbiphenylyl)tetrazole; methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT 76-05-1, Trifluoroacetic acid, uses
RL: CAT (Catalyst use); USES (Uses)
(catalysts for imidation of valerimidate ester with 5-(4'-aminomethylbiphenyl-2-yl)tetrazole; methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT 999-09-7, Ethyl valerimidate 745814-12-4, Propyl valerimidate 745814-13-5, Butyl valerimidate 745814-14-6, Benzyl valerimidate 745814-15-7, Pentyl valerimidate
RL: RCT (Reactant); RACT (Reactant or reagent)
(imidation of valerimidate ester with (aminomethylbiphenylyl)tetrazole; methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT 638-29-9, Valeroyl chloride 1664-35-3, 1-Aminocyclopentanecarboxylic acid ethyl ester 17193-28-1, 1-Aminocyclopentanecarboxamide 134603-82-0, 2-(1-Trityl-1H-tetrazol-5-yl)-4'-(aminomethyl)-1,1'-biphenyl 745814-07-7, Ethyl valerimidate methanesulfonate 745814-11-3, 1-(**Pentanoylamino**)cyclopentanecarboxylic acid ethyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT 124750-51-2P, 5-(4'-**Bromomethylbiphenyl**-2-yl)-1-trityl-1H-tetrazole 177219-40-8P, 1-(**Pentanoylamino**)cyclopentanecarboxamide 439904-79-7P, N-[[2'-(1-Trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]pentanamide 745814-08-8P 745814-10-2P, 1-[(1-Ethoxypentylidene)amino]cyclopentanecarboxylic acid ethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT 79-37-8, Oxalyl chloride 108-48-5, 2,6-Lutidine 144-55-8, Sodium bicarbonate, reactions 584-08-7, Potassium carbonate 1310-58-3, Potassium hydroxide, reactions 1310-73-2, Sodium hydroxide, reactions
RL: RGT (Reagent); RACT (Reactant or reagent)
(methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT 745814-09-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT 138402-11-6P, Irbesartan
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods for prepn. of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

IT 68-12-2, N,N-Dimethylformamide, uses 71-43-2, Benzene, uses 95-47-6, o-Xylene, uses 108-38-3, m-Xylene, uses 108-88-3, Toluene, uses 109-99-9, Tetrahydrofuran, uses 110-54-3, Hexane, uses 110-71-4, 1,2-Dimethoxyethane 119-64-2, Tetralin 127-19-5, N,N-Dimethylacetamide 462-95-3, Diethoxymethane 1634-04-4, Methyl tert-butyl ether
RL: NUU (Other use, unclassified); USES (Uses)
(solvent; methods for preparation of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one)

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	22.35	22.56

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.92	-2.92

FILE 'REGISTRY' ENTERED AT 09:58:37 ON 29 AUG 2005

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 AUG 2005 HIGHEST RN 861926-07-0
DICTIONARY FILE UPDATES: 28 AUG 2005 HIGHEST RN 861926-07-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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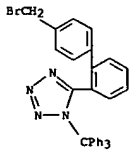
L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN
RN 124750-51-2 REGISTRY
CN 1H-Tetrazole, 5-[4'-(bromomethyl)[1,1'-biphenyl]-2-yl]-1-(triphenylmethyl)-
(9CI) (CA INDEX NAME)
OTHER NAMES:
CN 4'-Bromomethyl-2-(1-triphenylmethyltetrazol-5-yl)biphenyl
CN 4'-Bromomethyl-2-(1-trityl-1H-tetrazol-5-yl)biphenyl
CN 4'-Bromomethyl-2-(N-trityl-1H-tetrazol-5-yl)biphenyl
CN 4-Bromomethyl-2'-[N-triphenylmethyl-1H-tetrazol-5-yl]biphenyl
CN 4-[2'-(N-Triphenylmethyltetrazol-5-yl)phenyl]benzyl bromide
CN 5-(4'-Bromomethylbiphenyl-2-yl)-1-trityl-1H-tetrazole
CN 5-[4'-(Bromomethyl)biphenyl-2-yl]-N-(triphenylmethyl)tetrazole
CN 5-[4'-(Bromomethyl)[1,1'-biphenyl]-2-yl]-1-(triphenylmethyl)-1H-tetrazole
CN N-Triphenylmethyl-5-[2-(4'-bromomethylbiphenyl)]tetrazole
CN N-Triphenylmethyl-5-[4'-(bromomethyl)biphenyl-2-yl]tetrazole
CN [2'-(1-Trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl bromide
CN [2'-(N-Trityltetrazol-5-yl)biphenyl-4-yl]methyl bromide
CN [2'-(Triphenylmethyl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl bromide
MF C33 H25 Br N4
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, PS,
TOXCENTER, USPAT2, USPATFULL
(*File contains numerically searchable property data)
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PROC
(Process); RACT (Reactant or reagent); USES (Uses)
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

194 REFERENCES IN FILE CA (1907 TO DATE)
194 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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NOTICE SET TO OFF  FOR DISPLAY COMMAND
SET COMMAND COMPLETED

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=> FIL REGISTRY

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       3.56      26.12

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00      -2.92

FILE 'REGISTRY' ENTERED AT 10:01:01 ON 29 AUG 2005
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provided by InfoChem.

STRUCTURE FILE UPDATES:   28 AUG 2005  HIGHEST RN 861926-07-0
DICTIONARY FILE UPDATES:  28 AUG 2005  HIGHEST RN 861926-07-0

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*********************************************************************
*                                                                   *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                   *
*********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> S 177219-40-8/RN

L5            1 177219-40-8/RN

=> SET NOTICE 1 DISPLAY

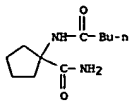
NOTICE SET TO 1 U.S. DOLLAR FOR DISPLAY COMMAND
```

SET COMMAND COMPLETED

=> D L5 SQIDE 1-

YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y
THE ESTIMATED COST FOR THIS REQUEST IS 6.15 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN
RN 177219-40-8 REGISTRY
CN Cyclopentanecarboxamide, 1-[(1-oxopentyl)amino]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 1-(Pentanoylamino)cyclopentanecarboxamide
FS 3D CONCORD
MF C11 H20 N2 O2
CI COM
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

```
=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF  FOR DISPLAY COMMAND
SET COMMAND COMPLETED

=>

=> FIL REGISTRY

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     2.27      28.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -2.92

FILE 'REGISTRY' ENTERED AT 10:01:33 ON 29 AUG 2005
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provided by InfoChem.

STRUCTURE FILE UPDATES:   28 AUG 2005  HIGHEST RN 861926-07-0
DICTIONARY FILE UPDATES:  28 AUG 2005  HIGHEST RN 861926-07-0

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*********************************************************************
*                                                                   *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                   *
*********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> S 745814-11-3/RN

L6            1 745814-11-3/RN

=> SET NOTICE 1 DISPLAY

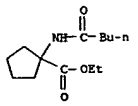
NOTICE SET TO 1 U.S. DOLLAR FOR DISPLAY COMMAND
```

SET COMMAND COMPLETED

=> D L6 SQIDE 1-

YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y
THE ESTIMATED COST FOR THIS REQUEST IS 6.15 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L6 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN
RN 745814-11-3 REGISTRY
CN Cyclopentanecarboxylic acid, 1-[(1-oxopentyl)amino]-, ethyl ester (9CI)
(CA INDEX NAME)
OTHER NAMES:
CN 1-(Pentanoylamino)cyclopentanecarboxylic acid ethyl ester
FS 3D CONCORD
MF C13 H23 N O3
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: RACT (Reactant or reagent)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

```
=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF  FOR DISPLAY COMMAND
SET COMMAND COMPLETED

=>

=> d his

     (FILE 'HOME' ENTERED AT 09:48:30 ON 29 AUG 2005)

     FILE 'CAPLUS' ENTERED AT 09:48:37 ON 29 AUG 2005
L1          164 S BROMOMETHYLBIPHENYL?
L2         1044 S PENTANOYL?
L3            3 S L1 AND L2

     FILE 'REGISTRY' ENTERED AT 09:58:37 ON 29 AUG 2005
L4            1 S 124750-51-2/RN
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY

     FILE 'REGISTRY' ENTERED AT 10:01:01 ON 29 AUG 2005
L5            1 S 177219-40-8/RN
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY

     FILE 'REGISTRY' ENTERED AT 10:01:33 ON 29 AUG 2005
L6            1 S 745814-11-3/RN
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY

=> fil caplus
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                  ENTRY    SESSION
FULL ESTIMATED COST                                2.27      30.66

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                  ENTRY    SESSION
CA SUBSCRIBER PRICE                                0.00      -2.92

FILE 'CAPLUS' ENTERED AT 10:01:55 ON 29 AUG 2005
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FILE COVERS 1907 - 29 Aug 2005  VOL 143 ISS 10
FILE LAST UPDATED: 28 Aug 2005  (20050828/ED)
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This file contains CAS Registry Numbers for easy and accurate substance identification.

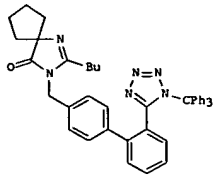
```
=> s L4 and (L5 or L6)
           194 L4
             4 L5
             1 L6
L7           1 L4 AND (L5 OR L6)

=> d ibib abs
```

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:696369 CAPLUS
DOCUMENT NUMBER: 141:225515
TITLE: Synthesis of 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]-non-ene-4-one
INVENTOR(S): Nisnevich, Gennady; Rukhman, Igor; Pertsikov, Boris; Kaftanov, Julia; Dolitzky, Ben-zion
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals Usa, Inc.
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072064	A1	20040826	WO 2004-US3604	20040205
W:	AE, AE, AG, AL, AL, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004242894	A1	20041202	US 2004-773414	20040205
PRIORITY APPLN. INFO.:			US 2003-445218P	P 20030205
			US 2003-465905P	P 20030428

OTHER SOURCE(S): CASREACT 141:225515
GI



I

AB Provided are 5 methods of making 2-butyl-3-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,3-diazaspiro[4,4]non-1-ene-4-one (I), e.g. comprising the steps of: (a) reacting 1-(N'-pentanoylamino)cyclopentanecarboxylic acid amide with 5-(4'-bromomethylbiphenyl-2-yl)-1-trityl-1H-tetrazole in the presence of an inorg. base, a solvent and a phase transfer catalyst; (b) cooling the mixture; (c) adding water to the mixture

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

whereby two phases are obtained; (d) sepg. the two phases obtained; and (e) recovering the compd. I. The compds. I can be converted to irbesartan which is a known angiotensin II receptor antagonist (blocker).

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	3.10	33.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.73	-3.65

STN INTERNATIONAL LOGOFF AT 10:02:26 ON 29 AUG 2005